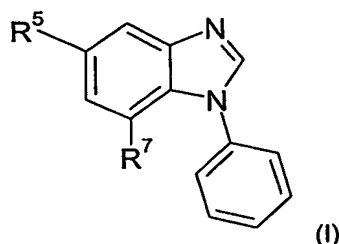


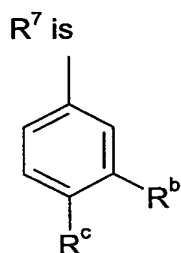
CLAIMS

1. A compound of general formula (I):



or an N-oxide thereof, or any of its isomers or any mixture of its isomers, or a pharmaceutically acceptable salt thereof, wherein

R^5 is halo, trifluoromethyl, trifluoromethoxy, cyano, nitro, alkyl, alkoxy, -alkyl-OR^a, -CH=N-O-R^a or -(C=O)-O-alkyl; wherein R^a is hydrogen or alkyl;



wherein one of R^b and R^c is hydrogen; and the other of R^b and R^c is

- hydrogen, halo, cyano, hydroxy, nitro, trifluoromethyl, trifluoromethoxy, alkyl, alkoxy, alkylcarbonyl or -NR^d-(C=O)-R^e;

wherein the alkyl and alkoxy are optionally substituted with one or more substituents selected from the group consisting of: hydroxy, alkoxy, halo, and -NR'R'';

R^d and R^e independently of each other are selected from hydrogen and alkyl;

R' and R'' independently of each other are selected from hydrogen and alkyl;

- NR^fR^g, -alkyl-NR^fR^g, -(C=O)-NR^fR^g, -O-NR^fR^g, -O-alkyl-NR^fR^g, -NR^h-alkyl-NR^fR^g;

wherein R^h is hydrogen or alkyl;

R^f and R^g independently of each other are hydrogen or alkyl; or

R^f and R^g together with the nitrogen to which they are attached form a 5- to 7-membered heterocyclic ring,

which heterocyclic ring may optionally comprise as a ring member, one oxygen atom, and/or one additional nitrogen atom, and/or one carbon-carbon double bond, and/or one carbon-nitrogen bond; and

which heterocyclic ring may optionally be substituted with trifluoromethyl, alkyl, hydroxyalkyl, or $-NR'R''$;

wherein R' and R'' independently of each other are hydrogen or alkyl;

or R^b and R^c together represent $-O-CH_2-O-$;

or R^7 is

- $-NR^h-(C=O)-R^i$, $-N=CH-R^i$, or $-C\equiv C-R^i$;

wherein R^h is hydrogen or alkyl; and

R^i is alkyl or phenyl, which alkyl or phenyl is optionally substituted with hydroxy, trifluoromethyl, cyano or alkyl; or

- $-NR^jR^k$, $-alkyl-NR^jR^k$, $-CH=CH-(C=O)-NR^jR^k$, $-CH=CH-(C=O)-O-alkyl$, $-alkyl-(C=O)-NR^jR^k$, or $-C\equiv C-CH_2-NR^jR^k$;

wherein R^j and R^k independently of each other are selected from the group consisting of hydrogen, alkyl, $-alkyl-CN$, $-alkyl-R'R''$ and $-alkyl-R^l$;

wherein R' and R'' independently of each other are hydrogen or alkyl;

R^l is a 5- to 7-membered heterocyclic ring comprising one nitrogen atom,

which heterocyclic ring may optionally comprise as a ring member, one oxygen atom, and/or one additional nitrogen atom, and/or one carbon-carbon double bond, and/or one carbon-nitrogen bond; and

which heterocyclic ring may optionally be substituted with trifluoromethyl, alkyl, hydroxyalkyl, or $-NR'R''$;

wherein R' and R'' independently of each other are hydrogen or alkyl;

or R^j and R^k together with the nitrogen to which they are attached form a 5- to 7-membered heterocyclic ring,

which heterocyclic ring may optionally comprise as a ring member, one oxygen atom, and/or one additional nitrogen atom,

and/or one carbon-carbon double bond, and/or one carbon-nitrogen bond; and

which heterocyclic ring may optionally be substituted with trifluoromethyl, alkyl, hydroxy, hydroxyalkyl, or -NR'R'';

wherein R' and R'' independently of each other are hydrogen or alkyl;

or R⁷ is a heteroaryl group

which heteroaryl group is optionally substituted with one or more

substituents independently selected from the group consisting of:

halo, trifluoromethyl, trifluoromethoxy, cyano, nitro, alkyl, and alkoxy;

with the proviso that the compound is not

7-(3-Aminophenyl)-1-phenyl-5-trifluoromethylbenzimidazole,

7-(3-Pyridyl)-1-phenyl-5-trifluoromethylbenzimidazole,

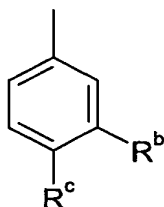
1,7-Diphenyl-5-trifluoromethylbenzimidazole,

7-benzoylamino-1-phenyl-5-trifluoromethylbenzimidazole, or

7-amino-1-phenyl-5-trifluoromethylbenzimidazole.

2. The compound of claim 1, wherein R⁵ is selected from the group of methyl, tertbutyl, trifluoromethyl, hydroxymethyl, cyano, ethoxycarbonyl, -CH=N-OH, and -CH=N-O-CH₃.

3. The compound of either of claims 1-2, wherein R^7 is



wherein one of R^b and R^c is hydrogen; and
the other of R^b and R^c is

- hydrogen, halo, cyano, hydroxy, nitro, trifluoromethyl, trifluoromethoxy, alkyl, alkoxy, alkylcarbonyl or -NR^d-(C=O)-R^e;

wherein the alkyl and alkoxy are optionally substituted with one or more substituents selected from the group consisting of: hydroxy, alkoxy, halo, and -NR'R'';

- $-\text{NR}^f\text{R}^g$, $-\text{alkyl}-\text{NR}^f\text{R}^g$, $-(\text{C}=\text{O})-\text{NR}^f\text{R}^g$, $-\text{O}-\text{NR}^f\text{R}^g$; $-\text{O}-\text{alkyl}-\text{NR}^f\text{R}^g$;
 $-\text{NR}^h-\text{alkyl}-\text{NR}^f\text{R}^g$;

wherein R^d , R^e , R^f , R^g , R^h , R' and R'' are as defined in claim 1.

4. The chemical compound of either of claims 1-2, wherein R^7 is 3,4-methylene-dioxyphenyl.

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5. The chemical compound of either of claims 1-2, wherein R^7 is R^7 is

- $-NR^h-(C=O)-R^i$, $-N=CH-R^i$, or $-C\equiv C-R^i$;

wherein R^h is hydrogen or alkyl; and

R^i is alkyl or phenyl, which alkyl or phenyl is optionally substituted with hydroxy, trifluoromethyl, cyano or alkyl; or

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- $-NR^jR^k$, $-alkyl-NR^jR^k$, $-CH=CH-(C=O)-NR^jR^k$, $-CH=CH-(C=O)-O-alkyl$, $-alkyl-(C=O)-NR^jR^k$, or $-C\equiv C-CH_2-NR^jR^k$;

wherein R^j and R^k independently of each other are selected from the group consisting of hydrogen, alkyl, $-alkyl-CN$, $-alkyl-R'R''$ and $-alkyl-R^l$;

15

wherein R' and R'' independently of each other are hydrogen or alkyl;

R^l is a 5- to 7-membered heterocyclic ring comprising one nitrogen atom,

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which heterocyclic ring may optionally comprise as a ring member, one oxygen atom, and/or one additional nitrogen atom, and/or one carbon-carbon double bond, and/or one carbon-nitrogen bond; and

which heterocyclic ring may optionally be substituted with trifluoromethyl, alkyl, hydroxyalkyl, or $-NR'R''$;

25

wherein R' and R'' independently of each other are hydrogen or alkyl;

or R^j and R^k together with the nitrogen to which they are attached form a 5- to 7-membered heterocyclic ring,

30

which heterocyclic ring may optionally comprise as a ring member, one oxygen atom, and/or one additional nitrogen atom, and/or one carbon-carbon double bond, and/or one carbon-nitrogen bond; and

which heterocyclic ring may optionally be substituted with trifluoromethyl, alkyl, hydroxy, hydroxyalkyl, or $-NR'R''$;

35

wherein R' and R'' independently of each other are hydrogen or alkyl.

6. The chemical compound of either of claims 1-2, wherein R⁷ is indolyl, pyridyl or furyl optionally substituted halo or methyl.
(1-Methyl-5-indolyl, pyridin-4-yl, pyridin-3-yl or 3-chloro-pyridin-4-yl.)
- 5 7. The compound of claim 1, which is
 - 7-(3-Chlorophenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
 - 7-(3-Aminophenyl)-5-formyl-1-phenylbenzimidazole oxime;
 - O-Methyl 7-(3-Aminophenyl)-5-formyl-1-phenylbenzimidazole oxime;
 - 7-(N-benzylideneamino)-1-phenyl-5-trifluoromethylbenzimidazole;
 - 10 7-(N-(4-cyanobenzylidene)amino)-1-phenyl-5-trifluoromethylbenzimidazole;
 - 7-(N-(3-cyanobenzylidene)amino)-1-phenyl-5-trifluoromethylbenzimidazole;
 - 7-(3-Aminophenyl)-5-cyano-1-phenylbenzimidazole;
 - 7-(3-(Hydroxymethyl)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
 - 1-Phenyl-7-(3-(1,2,3,6-tetrahydropyridine-1-ylmethyl)phenyl)-5-trifluoromethyl-
 - 15 benzimidazole;
 - 7-(3-Acetamidophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole;
 - 7-(3-Aminophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole;
 - 5-(Ethoxycarbonyl)-7-(3-(hydroxymethyl)phenyl)-1-phenylbenzimidazole;
 - 7-(3-Cyanophenyl)-1-phenyl-5-trifluorophenylbenzimidazole;
 - 20 5-Cyano-7-(3-nitrophenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(3-hydroxymethylphenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(3-((1-methylpiperazin-4-yl)methyl)phenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(3-(diethylaminomethyl)phenyl)-1-phenylbenzimidazole;
 - 7-(3-Acetamidophenyl)-5-cyano-1-phenylbenzimidazole;
 - 25 5-Cyano-7-(4-methoxyphenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(3-methoxyphenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(4-cyanophenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(3-fluorophenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(4-hydroxyphenyl)-1-phenylbenzimidazole;
 - 30 5-Cyano-7-[3-(dimethylamino)phenyl]-1-phenylbenzimidazole;
 - 5-Cyano-7-(3,4-methylenedioxyphenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(pyridin-4-yl)-1-phenylbenzimidazole;
 - 7-(3-Aminophenyl)-5-hydroxymethyl-1-phenylbenzimidazole;
 - 5-Ethoxycarbonyl-7-(3-((morpholin-4-yl)methyl)phenyl)-1-phenylbenzimidazole;
 - 35 5-Ethoxycarbonyl-7-(3-((1-methylpiperazin-4-yl)methyl)phenyl)-1-phenyl-
 - benzimidazole;
 - 5-Ethoxycarbonyl-7-(3-((dimethylamino)methyl)phenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(3-cyanophenyl)-1-phenylbenzimidazole;
 - 5-Cyano-7-(4-nitrophenyl)-1-phenylbenzimidazole;

- 7-(4-Acetamidophenyl)-5-cyano-1-phenylbenzimidazole;
7-(3-Acetamidophenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
O-Methyl 7-(3-acetamidophenyl)-5-formyl-1-phenylbenzimidazole oxime;
O-Methyl 7-(3-(dimethylamino)phenyl)-5-formyl-1-phenylbenzimidazole oxime;
5 5-Cyano-7-(4-diethylaminomethylphenyl)-1-phenylbenzimidazole;
7-(4-Benzamidyl)-5-cyano-1-phenylbenzimidazole;
7-(3-Acetamidophenyl)-5-hydroxymethyl-1-phenylbenzimidazole;
7-(3-Ethylaminophenyl)-5-hydroxymethyl-1-phenylbenzimidazole;
7-(3-Dimethylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole;
10 7-(3-Methylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole;
1-Phenyl-7-(3-((4-methylpiperazin-1-yl)methyl)phenyl)-5-trifluoromethyl-
benzimidazole;
7-(3-(1-Morpholinylmethyl)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(3-((Dimethylamino)methyl)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
15 5-Cyano-7-(4-(2-(4-morpholino)ethoxy)phenyl)-1-phenylbenzimidazole;
7-(3-(N-Methyl acetamido)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
1-Phenyl-7-(4-pyridyl)-5-trifluoromethylbenzimidazole;
5-(Hydroxymethyl)-1-phenyl-7-(3-trifluoromethoxyphenyl)benzimidazole;
7-(4-pyridyl N-oxide)-1-phenyl-5-trifluoromethylbenzimidazole;
20 7-(3-chloro-4-pyridyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(3-chloro-4-pyridyl-N-oxide)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(3-Acetylphenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(3-Fluorophenyl)-1-phenyl-5-trifluorophenylbenzimidazole;
3-(3-Phenyl-6-trifluoromethyl-3H-benzimidazol-4-yl)acrylic acid methyl ester;
25 3-(6-Cyano-3-phenyl-3H-benzimidazol-4-yl)acrylic acid methyl ester;
7-(4-Morpholinyl)-1-phenyl-5-trifluoromethylbenzimidazole;
5-*t*-Butyl-7-(3-dimethylaminophenyl)-1-phenylbenzimidazole;
7-(3-(1-Methoxyethyl)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(1-Methyl-5-indolyl)-1-phenyl-5-trifluoromethylbenzimidazole;
30 7-(3-(1-Hydroxyethyl)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(3-Furyl)-1-phenyl-5-trifluoromethylbenzimidazole;
N,N-Diethyl-3-(3-phenyl-6-trifluoromethyl-3H-benzimidazol-4-yl)acrylamide;
1-(4-Methylpiperazin-1-yl)-3-(3-phenyl-6-trifluoromethyl-3H-benzimidazol-4-yl)prop-
2-en-1-one;
35 3-(3-Phenyl-6-trifluoromethyl-3H-benzimidazol-4-yl)-1-piperidinylprop-2-en-1-one;
1-(4-Morpholinyl)-3-(3-phenyl-6-trifluoromethyl-3H-benzimidazol-4-yl)prop-2-en-1-
one;
1-(4-Methyl-[1,4]-hexahydrodiazepin-1-yl)-3-(3-phenyl-6-trifluoromethyl-3H-
benzimidazol-4-yl)prop-2-en-1-one;

- N*-(2-Cyanoethyl)-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)acrylamide;
3-(3-Phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)-*N*-propylacrylamide;
N-(2-Dimethylaminoethyl)-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)-
acrylamide;
5 3-(3-Phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)-1-(4-trifluoromethyl-piperidin-1-yl)prop-2-en-1-one;
7-(3-(2-Hydroxy-2-propyl)phenyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(4-Hydroxypiperidinyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(3-Fluorophenyl)-5-methyl-1-phenylbenzimidazole;
10 7-(4-Hydroxybut-1-ynyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(1-(1-(4-Hydroxyethylpiperazinyl)ethyl)-1-methylamino)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(1-(1-(4-Methylpiperazinyl)ethyl)-1-methyl)amino-1-phenyl-5-trifluoromethylbenzimidazole;
15 7-(3-(4-Morpholino)prop-1-ynyl)-1-phenyl-5-trifluoromethylbenzimidazole;
N,N-Diethyl-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)propionamide;
3-(6-*tert*-Butyl-3-phenyl-3*H*-benzimidazol-4-yl)-1-(piperidin-1-yl)prop-2-en-1-one;
N-Ethyl-*N*-isopropyl-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)acrylamide;
N-(1-Methylpiperidin-4-yl)methyl-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)acrylamide;
20 *N*-Methyl-*N*-(1-methylpyrrolidin-3-yl)-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)acrylamide;
3-(6-*tert*-Butyl-3-phenyl-3*H*-benzimidazol-4-yl)-*N*-methyl-*N*-(1-methylpiperidin-4-yl)acrylamide;
25 7-(4-(Diethylamino)butyl)-1-phenyl-5-trifluoromethylbenzimidazole;
7-(4-((*N*-(2-Cyanoethyl)-*N*-methyl)amino)-1-butyl)-1-phenyl-5-trifluoromethylbenzimidazole;
3-(3-Phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)-1-(pyrrolidin-1-yl)prop-2-en-1-one;
30 1-(2,5-Dihydropyrrol-1-yl)-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)prop-2-en-1-one;
N-(2-Cyanoethyl)-*N*-methyl-3-(3-phenyl-6-trifluoromethyl-3*H*-benzimidazol-4-yl)acrylamide;
1-Phenyl-7-(3-(1-(1,2,3,6-tetrahydropyridinyl))prop-1-ynyl)-5-trifluoromethylbenzimidazole;
35 1-Phenyl-7-(3-(1-piperidinyl)prop-1-ynyl)-5-trifluoromethylbenzimidazole;
7-[1-(3-Dimethylamino)pyrrolidinyl]-1-phenyl-5-trifluoromethylbenzimidazole;
or an *N*-oxide thereof, or any of its isomers or any mixture of its isomers, or a pharmaceutically acceptable salt thereof.

8. A pharmaceutical composition, comprising a therapeutically effective amount of a compound of any one of claims 1-7,
or the compound
- 5 7-(3-Aminophenyl)-1-phenyl-5-trifluoromethylbenzimidazole,
7-(3-Pyridyl)-1-phenyl-5-trifluoromethylbenzimidazole,
1,7-Diphenyl-5-trifluoromethylbenzimidazole,
7-benzoylamino-1-phenyl-5-trifluoromethylbenzimidazole, or
7-amino-1-phenyl-5-trifluoromethylbenzimidazole,
- 10 or an N-oxide thereof, or any of its isomers or any mixture of its isomers, or a pharmaceutically acceptable salt thereof, together with at least one pharmaceutically acceptable carrier, excipient or diluent.
9. Use of the chemical compound of any of claims 1-7,
or the compound
- 15 7-(3-Aminophenyl)-1-phenyl-5-trifluoromethylbenzimidazole,
7-(3-Pyridyl)-1-phenyl-5-trifluoromethylbenzimidazole,
1,7-Diphenyl-5-trifluoromethylbenzimidazole,
7-benzoylamino-1-phenyl-5-trifluoromethylbenzimidazole, or
- 20 7-amino-1-phenyl-5-trifluoromethylbenzimidazole,
or an N-oxide thereof or any of its isomers or any mixture of its isomers, or a pharmaceutically acceptable salt thereof, for the manufacture of a medicament.
10. The use according to claim 9, for the manufacture of a pharmaceutical
25 pharmaceutical composition for the treatment, prevention or alleviation of a disease or a disorder or a condition of a mammal, including a human, which disease, disorder or condition is responsive to modulation of the GABA_A receptor complex in the central nervous system.
- 30 11. The use according to claim 10, wherein the disease, disorder or condition is anxiety disorder, panic disorder with or without agoraphobia, agoraphobia without history of panic disorder, phobia, animal phobia, social phobia, obsessive-compulsive disorder, generalized or substance-induced anxiety disorder, stress disorder, post-traumatic and acute stress disorder, sleep
35 disorder, memory disorder, convulsive disorder, epilepsy, febrile convulsions in children, premenstrual syndrome, muscle spasm or spasticity, effects of substance abuse or dependency, effects of alcohol withdrawal, or disorder of circadian rhythm.

12. The use according to claim 10, for inducing and maintaining anaesthesia, sedation and muscle relaxation or for pre-medication prior to anaesthesia or minor procedures such as endoscopy, including gastric endoscopy.
- 5 13. A method for treatment, prevention or alleviation of a disease or a disorder or a condition of a living animal body, including a human, which disorder, disease or condition is responsive to modulation of the GABA_A receptor complex in the central nervous system, which method comprises the step of administering to
10 such a living animal body in need thereof a therapeutically effective amount of a compound according to any one of the claims 1-7
or the compound
7-(3-Aminophenyl)-1-phenyl-5-trifluoromethylbenzimidazole,
7-(3-Pyridyl)-1-phenyl-5-trifluoromethylbenzimidazole,
1,7-Diphenyl-5-trifluoromethylbenzimidazole,
15 *7-benzoylamino-1-phenyl-5-trifluoromethylbenzimidazole, or*
7-amino-1-phenyl-5-trifluoromethylbenzimidazole,
or an N-oxide thereof, or any of its isomers or any mixture of its isomers, or a pharmaceutically acceptable salt thereof.